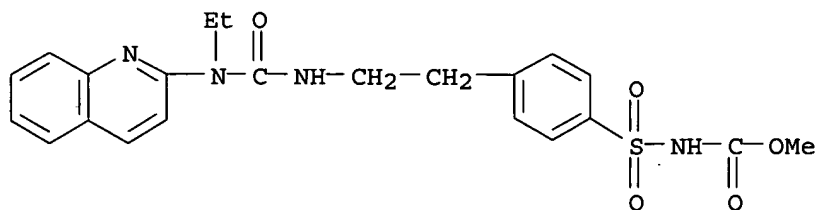


10509483



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> s l8 sss full

FULL SEARCH INITIATED 17:34:02 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 95906 TO ITERATE

100.0% PROCESSED 95906 ITERATIONS
SEARCH TIME: 00.00.02

564 ANSWERS

L10 564 SEA SSS FUL L8

=> d l3

L3 HAS NO ANSWERS

L3 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> d his

(FILE 'HOME' ENTERED AT 17:14:34 ON 16 SEP 2006)

FILE 'REGISTRY' ENTERED AT 17:14:49 ON 16 SEP 2006
ACTIVATE TEN509483/A

L1 STR

L2 1265 SEA FILE=REGISTRY SSS FUL L1

L3 STRUCTURE UPLOADED

L4 1015 S L3 FULL SUB=L2

L5 250 S L2 NOT L4

Unsubstd

FILE 'CAPLUS' ENTERED AT 17:24:41 ON 16 SEP 2006

L6 107 S L5

FILE 'REGISTRY' ENTERED AT 17:25:06 ON 16 SEP 2006

L7 STRUCTURE UPLOADED

L8 STRUCTURE UPLOADED

L9 16 S L8

L10 564 S L8 SSS FULL ✓

=> s l3 sub=l10 full ✓

FULL SUBSET SEARCH INITIATED 17:36:33 FILE 'REGISTRY'

10509483

FULL SUBSET SCREEN SEARCH COMPLETED - 564 TO ITERATE

100.0% PROCESSED 564 ITERATIONS 425 ANSWERS
SEARCH TIME: 00.00.01

L11 425 SEA SUB=L10 SSS FUL L3 ✓

=> s l10 not l11

L12 139 L10 NOT L11 ✓

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

228.00

275.11

FILE 'CAPLUS' ENTERED AT 17:36:55 ON 16 SEP 2006

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FILE COVERS 1907 - 16 Sep 2006 VOL 145 ISS 13

FILE LAST UPDATED: 15 Sep 2006 (20060915/ED)

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<http://www.cas.org/infopolicy.html>

=> s l12

L13 101 L12

=> d l13 1-20 bib abs fhitr

L13 ANSWER 1 OF 101 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2006:579570 CAPLUS

DN 145:62926

TI Preparation of phenyl piperazinyl methanone derivatives for the treatment of Alzheimer's disease

IN Jolidon, Synese; Narquizian, Robert; Norcross, Roger, David; Pinard, Emmanuel

PA F. Hoffmann-La Roche AG, Switz.

SO PCT Int. Appl., 52 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006061135	A1	20060615	WO 2005-EP12833	20051201

10509483

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

US 2006128712 A1 20060615 US 2005-291216 20051201

PRAI EP 2004-106440 A 20041209

OS MARPAT 145:62926

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1 = Q1, etc.; R2 = nonarom. heterocycle, OR', N(R'')₂; R' = alkyl, optionally substituted alkyl with halo, -(CH₂)_n-cycloalkyl; R'' = alkyl; R3 = NO₂, CN, SO₂R'; R4 = H, hydroxy, halo, etc.; X1 = CH, N; X2 = O, S, NH, etc.; n = 0-2] and their pharmaceutically active addition salts were prepared. For example, reaction of 2,6-dichlorobenzothiazole with piperazine followed by TBTU mediated acylation using 2-(morpholin-4-yl)-5-nitrobenzoic acid, e.g., prepared from 2-fluoro-5-nitrobenzoic acid, afforded compound II. In glycine uptake inhibition assays, the IC₅₀ value of compound II was 0.271 μM.

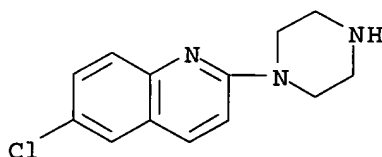
IT 610320-19-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of Ph piperazinyl methanone derivs. for treatment of Alzheimer's disease)

RN 610320-19-9 CAPLUS

CN Quinoline, 6-chloro-2-(1-piperazinyl)-, hydrochloride (9CI) (CA INDEX NAME)



● x HCl

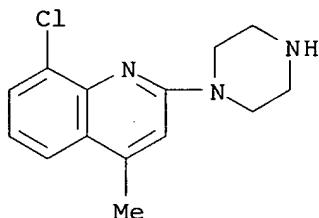
RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 2 OF 101 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2006:99736 CAPLUS

DN 144:184692

TI Use of compounds active on the sigma receptor for the treatment of

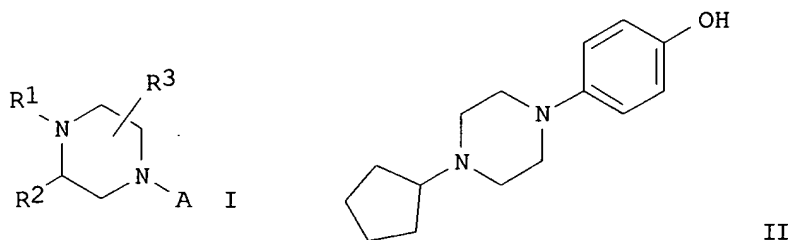


=> d 113 21 23 29 30 31 33 34 35 39 41 46 47 87 94 95 bib abs hitstr

L13 ANSWER 21 OF 101 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 2003:633674 CAPLUS
 DN 139:180085
 TI Preparation of novel aryl- and heteroarylpiperazines with histamine H3
 receptor affinity
 IN Hohlweg, Rolf; Doerwald, Florencio Zaragoza; Stephensen, Henrik;
 Pettersson, Ingrid; Peschke, Bernd
 PA Novo Nordisk A/S, Den.; Boehringer Ingelheim International G.m.b.H..
 SO PCT Int. Appl., 145 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003066604	A2	20030814	WO 2003-DK71	20030205
	WO 2003066604	A3	20031204		
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	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				
	CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				
	GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,				
	LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,				
	PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,				
	UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW:				
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	KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,				
	FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF,				
	BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2474214	AA	20030814	CA 2003-2474214	20030205
	AU 2003203148	A1	20030902	AU 2003-203148	20030205
	EP 1474401	A2	20041110	EP 2003-701482	20030205
	R:				
	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
	IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003007429	A	20041228	BR 2003-7429	20030205
	CN 1628109	A	20050615	CN 2003-803360	20030205
	JP 2005533747	T2	20051110	JP 2003-565978	20030205
	US 2003236259	A1	20031225	US 2003-383310	20030307
	ZA 2004005694	A	20050630	ZA 2004-5694	20040716
	NO 2004003709	A	20040903	NO 2004-3709	20040903
PRAI	DK 2002-168	A	20020205		
	US 2002-356630P	P	20020208		
	DK 2002-1142	A	20020726		
	US 2002-399304P	P	20020726		
	WO 2003-DK71	W	20030205		
OS	MARPAT 139:180085				

GI



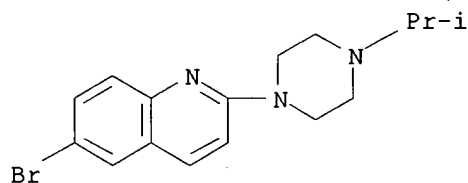
AB Novel aryl- and heteroarylpiperazines of formula I [R¹ = alkyl, alkenyl, alkynyl, cycloalkyl, not isobutyl; R² = H, alkyl; R¹R² = alkylene; R³ = H, halo, OH, CF₃, OCF₃, alkyl, cycloalkyl, alkoxy, aryl, etc.; A = aryl, heteroaryl, etc.] are prepared and used in pharmaceutical compns. The compds. show a high and selective binding affinity to the histamine H₃ receptor indicating histamine H₃ receptor antagonistic, inverse agonistic or agonistic activity. As a result, the compds. are useful for the treatment of diseases and disorders related to the histamine H₃ receptor. Thus, II was prepared from 1-(4-hydroxyphenyl)piperazine and cyclopentanone in 49% yield.

IT 577967-53-4P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of aryl- and heteroarylpiperazines with histamine H₃ receptor affinity)

RN 577967-53-4 CAPLUS

CN Quinoline, 6-bromo-2-[4-(1-methylethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



IT 577966-67-7P 577966-68-8P 577966-91-7P

577966-92-8P 577966-98-4P 577966-99-5P

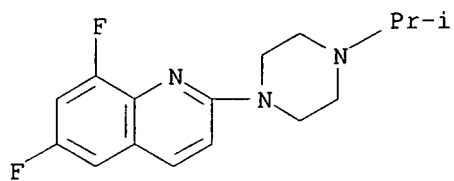
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aryl- and heteroarylpiperazines with histamine H₃ receptor affinity)

RN 577966-67-7 CAPLUS

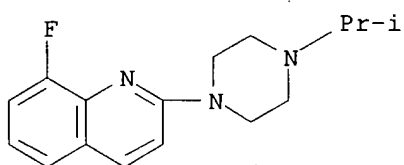
CN Quinoline, 6,8-difluoro-2-[4-(1-methylethyl)-1-piperazinyl]-, hydrochloride (9CI) (CA INDEX NAME)

10509483



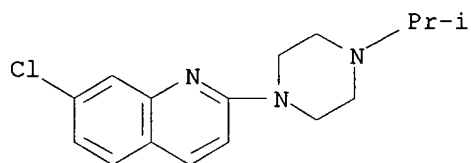
●x HCl

RN 577966-68-8 CAPLUS
CN Quinoline, 8-fluoro-2-[4-(1-methylethyl)-1-piperazinyl]-, hydrochloride
(9CI) (CA INDEX NAME)



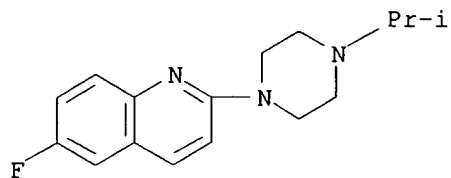
●x HCl

RN 577966-91-7 CAPLUS
CN Quinoline, 7-chloro-2-[4-(1-methylethyl)-1-piperazinyl]-, hydrochloride
(9CI) (CA INDEX NAME)



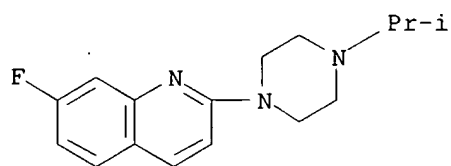
●x HCl

RN 577966-92-8 CAPLUS
CN Quinoline, 6-fluoro-2-[4-(1-methylethyl)-1-piperazinyl]-, hydrochloride
(9CI) (CA INDEX NAME)



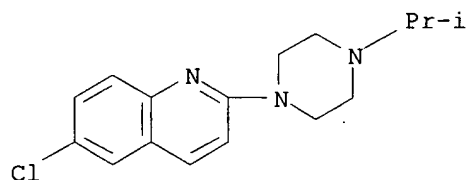
●x HCl

RN 577966-98-4 CAPLUS
 CN Quinoline, 7-fluoro-2-[4-(1-methylethyl)-1-piperazinyl]-, hydrochloride
 (9CI) (CA INDEX NAME)



●x HCl

RN 577966-99-5 CAPLUS
 CN Quinoline, 6-chloro-2-[4-(1-methylethyl)-1-piperazinyl]-, hydrochloride
 (9CI) (CA INDEX NAME)



●x HCl

L13 ANSWER 23 OF 101 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 2003:319699 CAPLUS
 DN 138:314635
 TI Anti-glycation agents for preventing age-, diabetes-, and smoking-related complications
 IN Yeboah, Faustinus; Konishi, Yasuo; Cho, Sung Ju; Lertvorachon, Jittiwud; Kiyota, Taira; Tomasz, Popek
 PA National Research Council of Canada, Can.
 SO PCT Int. Appl., 58 pp.
 CODEN: PIXXD2

DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003032969	A2	20030424	WO 2002-CA1552	20021015
	WO 2003032969	A3	20030912		
	WO 2003032969	B1	20031016		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	CA 2463624	AA	20030424	CA 2002-2463624	20021015
	EP 1435930	A2	20040714	EP 2002-774182	20021015
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK			
	US 2005043408	A1	20050224	US 2004-492553	20041008
PRAI	US 2001-328808P	P	20011015		
	WO 2002-CA1552	W	20021015		

OS MARPAT 138:314635

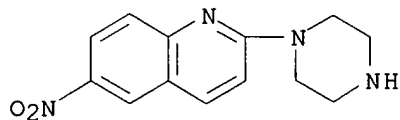
AB The invention provides inhibitors of protein glycation, identified from compound libraries by a high throughput screening assay. The anti-glycation agents so identified are characterized by a variety of chemical structures and are useful for the prevention or treatment of age-, diabetes-, and smoking-related complications, including neuropathy, nephropathy, ocular pathologies, or the loss of mech. properties of collagenous tissues. Among compds. identified as having the anti-glycation activity, of special interest are epinephrine and its analogs, in particular D-epinephrine and its analogs, which are particularly useful for the prevention or treatment of age-, diabetes-, and smoking-related ocular pathologies. Preparation of e.g. D-norepinephrine dipivalate is described.

IT 77372-73-7, 6-Nitroquipazine 77372-73-7D, 6-Nitroquipazine, prodrugs and derivs.

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(anti-glycation agents for preventing age-, diabetes-, and smoking-related complications)

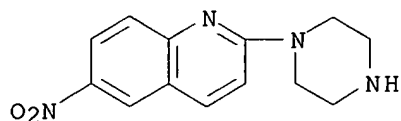
RN 77372-73-7 CAPLUS

CN Quinoline, 6-nitro-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)

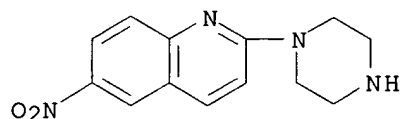


RN 77372-73-7 CAPLUS

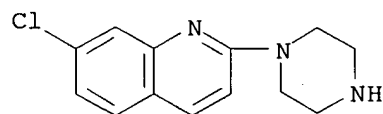
CN Quinoline, 6-nitro-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)



L13 ANSWER 29 OF 101 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 2002:675122 CAPLUS
 DN 138:297072
 TI Electrostatic Potential Surfaces of 5-HT3R Agonists Suggest Accessory Cation- π Site Adjacent to Agonist Binding Domain
 AU Parihar, Harish S.; Kirschbaum, Karen S.
 CS College of Pharmacy, Department of Basic Pharmaceutical Sciences, The University of Louisiana at Monroe, Monroe, LA, 71209, USA
 SO Bioorganic & Medicinal Chemistry Letters (2002), 12(19), 2743-2747
 CODEN: BMCLE8; ISSN: 0960-894X
 PB Elsevier Science Ltd.
 DT Journal
 LA English
 AB Electrostatic potential surface mapping of various aromatic ring systems contained in 5-HT3R agonists indicate that some agonists contain an aromatic moiety capable of a favorable cation- π interaction next to the e-face of pyridine (or its bioisostere). A pharmacophore model has been proposed based on superimposition of two distinct aryl' interactions.
 IT 77372-73-7 124782-98-5
 RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)
 (electrostatic potential surfaces of 5-HT3R agonists suggest accessory cation- π site adjacent to agonist binding domain)
 RN 77372-73-7 CAPLUS
 CN Quinoline, 6-nitro-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)



RN 124782-98-5 CAPLUS
 CN Quinoline, 7-chloro-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)



RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 30 OF 101 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 2002:646918 CAPLUS
 DN 137:289000
 TI Quinoline derivatives and pharmaceutical composition for correction of

hemostasis system
 IN Severin, E. S.; Severin, S. E.; Khomyakov, Yu. N.; Kryukov, L. N.;
 Dukhanin, A. S.; Vorontsov, E. A.; Krylov, I. I.; Kuznetsov, S. L.
 PA Moskovskii Nauchno-Issledovatel'skii Institut Meditsinskoi Ekologii
 (MNIIME), Russia
 SO Russ., No pp. given
 CODEN: RUXXE7
 DT Patent
 LA Russian
 FAN.CNT 1

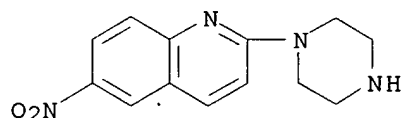
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	RU 2177317	C1	20011227	RU 2000-126596	20001024
PRAI	RU 2000-126596		20001024		

AB Method is disclosed for correction of hemostasis system by using quinoline derivs. and pharmaceutical composition The invention relates to agent used for correction of hemostasis. Method proposes quinoline derivs., a pharmaceutical composition and their using. The invention provides the expressed biol. activity of compds. and for one of them at the same level as for hirudin, one of the most active and expensive imported drugs. Coagulating and anticoagulant activity of these substances is associated with their effect on the function of the thrombin/thrombin receptors system.

IT 77372-73-7P
 RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (quinoline derivs. and pharmaceutical composition for correction of hemostasis system)

RN 77372-73-7 CAPLUS

CN Quinoline, 6-nitro-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)



L13 ANSWER 31 OF 101 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:615577 CAPLUS

DN 137:169536

TI Preparation of aryl-substituted tetrahydropyrimidines and related compounds as melanocortin-4 receptor binding compounds

IN Maguire, Martin P.; Dai, Mingshi; Vos, Tricia J.

PA Millennium Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 228 pp.

CODEN: PIXXD2

DT Patent

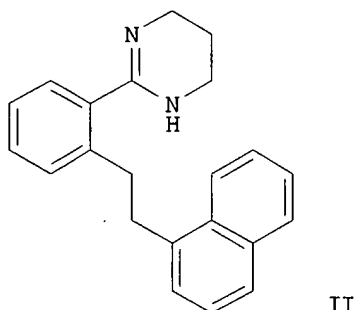
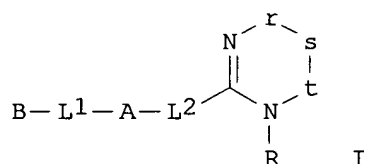
LA English

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002062766	A2	20020815	WO 2002-US3566	20020207
	WO 2002062766	A3	20021003		

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LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
 PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
 UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
 CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
 US 6699873 B1 20040302 US 2001-778468 20010207
 EP 1363890 A2 20031126 EP 2002-718920 20020207
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 PRAI US 2001-778468 A 20010207
 US 1999-147288P P 19990804
 US 2000-223277P P 20000803
 US 2000-632309 A2 20000804
 WO 2002-US3566 W 20020207
 OS MARPAT 137:169536
 GI



AB Title compds. I [wherein A and B = independently (un)substituted biaryl, (hetero)aryl, Ph, (cyclo)alkyl, (cyclo)alkoxy, alkenyl, alkynyl, OH, acyl(oxy), carbamoyl, amino, thiol, amidino, imino, NO₂, N₃, etc.; L1 and L2 = covalent bond or (un)substituted alkyl optionally interrupted by O, S, or N; r = covalent bond, CH, CH₂, CHR1, CR1R2, or H; t = CH, CH₂, CHR3, CR3R4, or H; s = CHR5, CR5R6, or absent; R = H, (un)substituted alkyl, arylalkyl, or heteroalkyl, and may optionally be linked to A, B, L1, or L2; R1-R6 = independently (un)substituted alkyl, halo, thiol, thioether, thioalkyl, alkoxy, and may be optionally linked to each other to form addnl. ring moieties, e.g., quinoxaliny] or pharmaceutically acceptable salts thereof] were prepared as melanocortin-4 receptor binding (MC4-R) compds. For example, stirring a solution of α-tolunitrile with diisopropylamine and BuLi in hexanes at -78° under nitrogen for 1 h, followed by addition of HMPA and 1-chloromethylnaphthalene in THF, afforded 2-(2-naphthalen-1-ylethyl)benzonitrile. Heating the benzonitrile with 1,3-diaminopropane in the presence of H₂S at 80° for 72 h gave the tetrahydropyrimidinyl cycloaddn. product II. The latter exhibited exemplary inhibition of MC4-R in a scintillation proximity assay. I are useful for the treatment of disorders associated with pigmentation, bones, or weight loss (no data).

IT 326483-33-4P

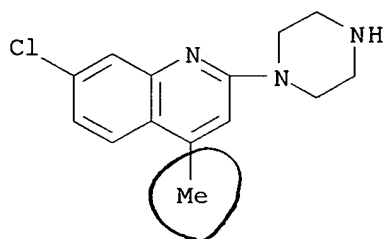
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)

(MC4-R binding compound; preparation of aryl-substituted tetrahydropyrimidines and related compds. as melanocortin-4 receptor binding compds. for treatment of pigmentation, bone, and weight loss disorders)

RN 326483-33-4 CAPLUS

CN Quinoline, 7-chloro-4-methyl-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)



L13 ANSWER 33 OF 101 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:368310 CAPLUS

DN 136:363866

TI Serotonergic compositions and methods for treatment of mild cognitive impairment

IN Wurtman, Richard J.; Lee, Robert K. K.

PA Massachusetts Institute of Technology, USA

SO PCT Int. Appl., 34 pp.

CODEN: PIXXD2

DT Patent

LA English

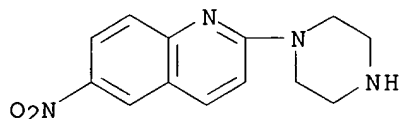
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002038142	A2	20020516	WO 2001-US43016	20011108
	WO 2002038142	A3	20030814		
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2002030423	A5	20020521	AU 2002-30423	20011108
	US 2002173511	A1	20021121	US 2001-986469	20011108
	US 2002173549	A1	20021121	US 2001-986470	20011108
PRAI	US 2000-246615P	P	20001108		
	WO 2001-US43016	W	20011108		

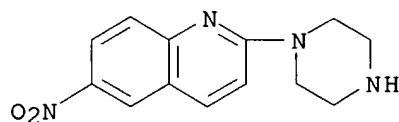
AB A method of treating mild cognitive impairment is disclosed. The method comprises administering an effective amount of a serotonergic agent, including, but not limited to, dextnorfenfluramine. The agent can be any serotonergic agonist, partial agonist, serotonin reuptake inhibitor, or combinations of these agents. The treatment method also encompasses combinations of serotonergic agents and nonsteroidal antiinflammatory agents. The treatment method may also delay the onset of mild cognitive impairment, dementia, or both.

10509483

IT 77372-73-7, 6-Nitroquipazine
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
(serotonergic compns. and methods for treatment of mild cognitive
impairment)
RN 77372-73-7 CAPLUS
CN Quinoline, 6-nitro-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)



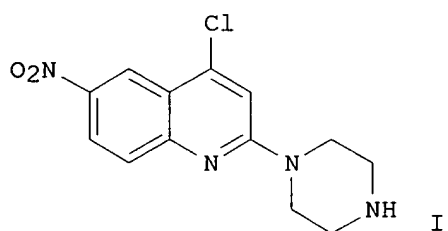
L13 ANSWER 34 OF 101 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:162096 CAPLUS
DN 136:334744
TI Molecular design based on 3D-pharmacophore. Application to 5-HT subtypes
receptors
AU Bureau, Ronan; Daveu, Cyril; Lancelot, Jean-Charles; Rault, Sylvain
CS Centre d'Etudes et de Recherche sur le Medicament de Normandie, Universite
de Caen, Caen, 14032, Fr.
SO Journal of Chemical Information and Computer Sciences (2002), 42(2),
429-436
CODEN: JCISD8; ISSN: 0095-2338
PB American Chemical Society
DT Journal
LA English
AB A first definition of a pharmacophore for the serotonin reuptake
inhibitors was carried out by considering a three-dimensional model which
correlates the chemical structures of series of reuptake inhibitors with
their biol. affinities. A mol. design was described by analyzing two
different 3D serotonin pharmacophores. This successful approach enabled
us to consider the design of new serotonin ligands by the same method.
IT 77372-73-7
RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological
study)
(mol. design based on 3D-pharmacophore: application to 5-HT subtypes
receptors)
RN 77372-73-7 CAPLUS
CN Quinoline, 6-nitro-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)



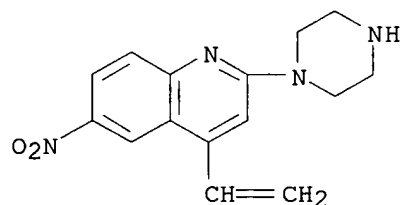
RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 35 OF 101 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:136925 CAPLUS
DN 137:33193
TI Syntheses and binding affinities of 6-nitroquipazine analogues for

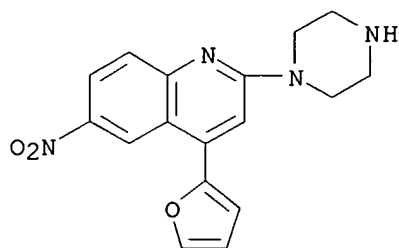
serotonin transporter. Part 2: 4-Substituted 6-nitroquipazines
 AU Lee, Byoung Se; Chu, Soyoung; Lee, Bon-Su; Chi, Dae Yoon; Song, Yun Seon;
 Jin, Changbae
 CS Department of Chemistry, Inha University, Namgu, Incheon, 402-751, S. Korea
 SO Bioorganic & Medicinal Chemistry Letters (2002), 12(5), 811-815
 CODEN: BMCLE8; ISSN: 0960-894X
 PB Elsevier Science Ltd.
 DT Journal
 LA English
 OS CASREACT 137:33193
 GI



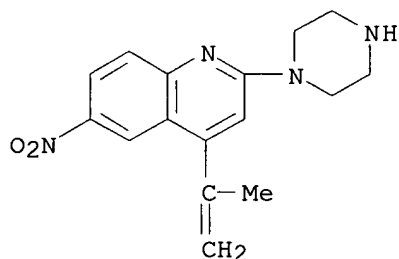
AB Eleven 4-substituted derivs. of 6-nitroquipazine, e.g., I, were synthesized and evaluated for their abilities to displace [3H]citalopram binding to the rat cortical synaptic membranes. I was shown to possess the highest binding affinity ($K_i = 0.03$ nM) which was approx. 6 times higher than that of 6-nitroquipazine ($K_i = 0.17$ nM) itself. The results of corresponding biol. evaluation and the SAR study are described.
 IT 437708-78-6P, 4-Vinyl-6-nitroquipazine 437708-83-3P, 4-(2-Furanyl)-6-nitroquipazine
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation and binding affinity of alkylnitroquipazines as ligands for serotonin transporter via Stille coupling of alkyltributylstannanes and bromonitroquipazine)
 RN 437708-78-6 CAPLUS
 CN Quinoline, 4-ethenyl-6-nitro-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)



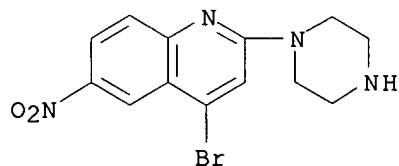
RN 437708-83-3 CAPLUS
 CN Quinoline, 4-(2-furanyl)-6-nitro-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)



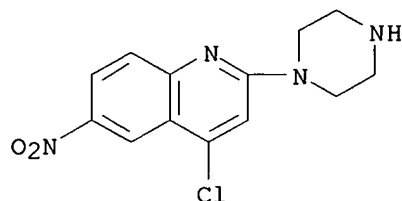
IT 437708-79-7P, 4-(2-Propenyl)-6-nitroquipazine
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation and binding affinity of alkylnitroquipazines as ligands for serotonin transporter via Stille coupling of stannylquinolines and alkyl halides)
 RN 437708-79-7 CAPLUS
 CN Quinoline, 4-(1-methylethenyl)-6-nitro-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)



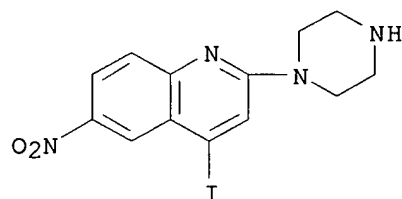
IT 143954-73-8P, 4-Bromo-6-nitroquipazine 437708-76-4P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation and binding affinity of halonitroquipazines as ligands for serotonin transporter via amidation of nitroaniline and subsequent cyclocondensation, halogenation and piperazinyl-substitution)
 RN 143954-73-8 CAPLUS
 CN Quinoline, 4-bromo-6-nitro-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)



RN 437708-76-4 CAPLUS
 CN Quinoline, 4-chloro-6-nitro-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)



IT 437708-77-5P, 4-Iodo-6-nitroquipazine
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation and binding affinity of idonitroquipazine as a ligand for serotonin transporter via piperazinyl-substitution of dibromonitroquinoline and subsequent stannylation and iodination)
 RN 437708-77-5 CAPLUS
 CN Quinoline, 4-iodo-6-nitro-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)



RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

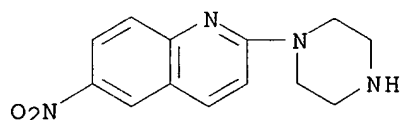
L13 ANSWER 39 OF 101 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 2001:564823 CAPLUS
 DN 135:132455
 TI Composition for treatment of stress
 IN Wurtman, Judith J.; Wurtman, Richard J.
 PA Massachusetts Institute of Technology, USA
 SO PCT Int. Appl., 35 pp.
 CODEN: PIXXD2

DT Patent
 LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001054681	A2	20010802	WO 2001-US2854	20010129
	WO 2001054681	C1	20020117		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	US 6579899	B1	20030617	US 2000-492110	20000127
	CA 2398821	AA	20010802	CA 2001-2398821	20010129

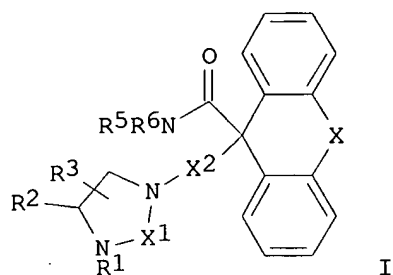
EP 1253915 A1 20021106 EP 2001-905173 20010129
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 JP 2003521498 T2 20030715 JP 2001-555659 20010129
 PRAI US 2000-492110 A2 20000127
 US 1998-93013P P 19980716
 US 1999-354738 B2 19990716
 WO 2001-US2854 W 20010129
 AB A method of treating stress in a patient showing stress related symptoms
 is disclosed, where the method comprises administering to the patient an
 effective amount of a serotonergic drug or prodrug. Specific examples of
 such drugs are described, and include, among others, tryptophan or
 5-hydroxytryptophan, or their salts.
 IT 77372-73-7, DU 24565
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological
 study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
 (Uses)
 (composition for treatment of stress using serotonergic drugs or prodrugs)
 RN 77372-73-7 CAPLUS
 CN Quinoline, 6-nitro-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)



L13 ANSWER 41 OF 101 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 2001:489378 CAPLUS
 DN 135:92650
 TI Preparation of 9-piperazinoalkyl-9H-fluorene-9-carboxamides as inhibitors
 of microsomal triglyceride transfer protein (MTP)
 IN Lehmann-Lintz, Thorsten; Heckel, Armin; Thomas, Leo; Mark, Michael
 PA Boehringer Ingelheim Pharma K.-G., Germany
 SO PCT Int. Appl., 122 pp.
 CODEN: PIXXD2
 DT Patent
 LA German
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001047898	A2	20010705	WO 2000-EP12843	20001216
	WO 2001047898	A3	20020404		
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				
	CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,				
	HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,				
	LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,				
	SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,				
	YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,				
	DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,				
	BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	DE 19963234	A1	20020124	DE 1999-19963234	19991227
	CA 2395249	AA	20010705	CA 2000-2395249	20001216
	EP 1255736	A2	20021113	EP 2000-991607	20001216
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

	IE, SI, LT, LV, FI, RO, MK, CY, AL, TR	
JP	2003521484	T2 20030715 JP 2001-549370 20001216
US	2003166637	A1 20030904 US 2002-168486 20021009
US	6821967	B2 20041123
PRAI	DE 1999-19963234	A 19991227
WO	2000-EP12843	W 20001216
OS	MARPAT 135:92650	
GI		



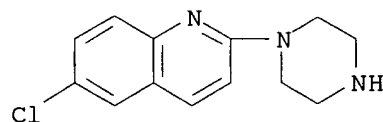
AB Title compds. [I; R1 = (substituted) (polycyclic) aryl, heteroaryl; R2, R3 = H, alkyl; R5, R6 = H, (substituted) alkyl, cycloalkyl, Ph, alkoxy carbonylalkyl, carboxyalkyl, etc.; R5R6N = cycloalkylenimino; X = bond, O, CH2, CH2CH2, (substituted) imino; X1 = (CH2)m; X2 = (CH2)n; m = 2,3; n = 1-5] were prepared as MTP inhibitors (no data). Thus, 9-(4-bromobutyl)-9H-fluoren-9-(2,2,2-trifluoroethyl)carboxamide (preparation given) was stirred for 10 h at room temperature with 2-(piperazin-1-yl)benzothiazole, K2CO3 and H2O in MeCN to give 60.4% 9-[4-(4-benzothiazol-2-yl)-piperazin-1-ylbutyl]-9H-fluoren-9-(2,2,2-trifluoroethyl)carboxamide.

IT 78060-46-5 124782-91-8 348133-65-3
348133-95-9

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of piperazinoalkylfluorenecarboxamides as inhibitors of
microsomal triglyceride transfer protein (MTP))

RN 78060-46-5 CAPLUS

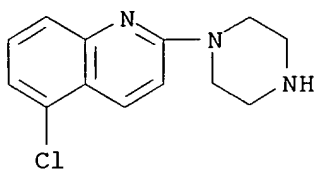
CN Quinoline, 6-chloro-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)



RN 124782-91-8 CAPLUS

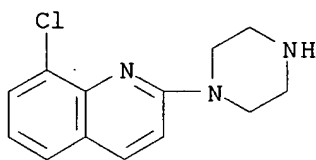
CN Quinoline, 5-chloro-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)

10509483



RN 348133-65-3 CAPLUS

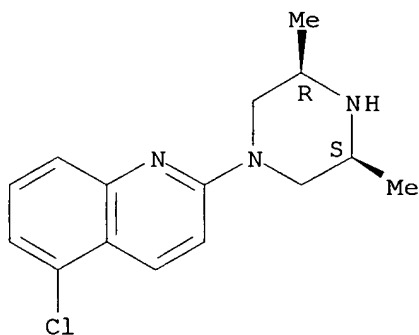
CN Quinoline, 8-chloro-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)



RN 348133-95-9 CAPLUS

CN Quinoline, 5-chloro-2-[(3R,5S)-3,5-dimethyl-1-piperazinyl]-, rel- (9CI)
(CA INDEX NAME)

Relative stereochemistry.



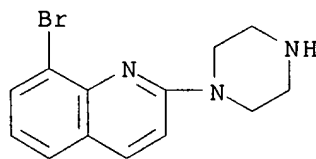
IT 348133-70-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(preparation of piperazinoalkylfluorenecarboxamides as inhibitors of
microsomal triglyceride transfer protein (MTP))

RN 348133-70-0 CAPLUS

CN Quinoline, 8-bromo-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)



L13 ANSWER 46 OF 101 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2000:496108 CAPLUS

DN 133:266814

TI Syntheses and binding affinities of 6-nitroquipazine analogues for serotonin transporter

AU Lee, B. S.; Chu, S.; Lee, B. C.; Chi, D. Y.; Choe, Y. S.; Jeong, K. J.; Jin, C.

CS Department of Chemistry, Inha University, Incheon, 402-751, S. Korea

SO Bioorganic & Medicinal Chemistry Letters (2000), 10(14), 1559-1562

CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier Science Ltd.

DT Journal

LA English

AB 6-Nitroquipazine has been known as one of the most potent and selective inhibitors of serotonin transporter in vitro and in vivo. Nine derivs. of 6-nitroquipazine were synthesized and tested for their potential abilities to displace [3H]citalopram binding to the rat cortical membranes.

IT 78060-46-5P 124782-95-2P 160006-50-8P

296759-20-1P 296759-21-2P 296759-22-3P

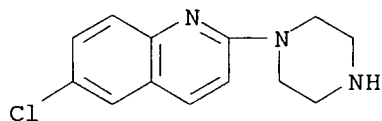
296759-24-5P 296759-25-6P 296759-26-7P

RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(syntheses and binding affinities of 6-nitroquipazine analogs for serotonin transporter)

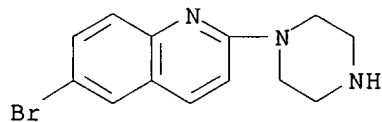
RN 78060-46-5 CAPLUS

CN Quinoline, 6-chloro-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)



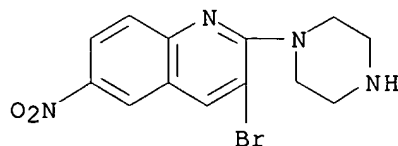
RN 124782-95-2 CAPLUS

CN Quinoline, 6-bromo-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)



RN 160006-50-8 CAPLUS

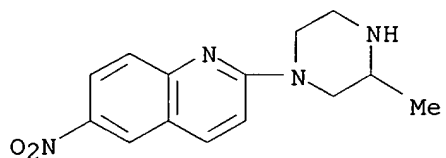
CN Quinoline, 3-bromo-6-nitro-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)



10509483

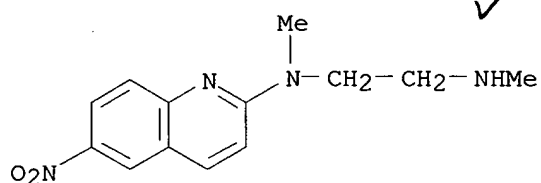
RN 296759-20-1 CAPLUS

CN Quinoline, 2-(3-methyl-1-piperazinyl)-6-nitro- (9CI) (CA INDEX NAME)



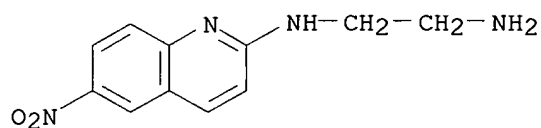
RN 296759-21-2 CAPLUS

CN 1,2-Ethanediamine, N,N'-dimethyl-N-(6-nitro-2-quinolinyl)- (9CI) (CA INDEX NAME)



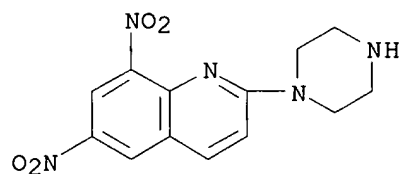
RN 296759-22-3 CAPLUS

CN 1,2-Ethanediamine, N-(6-nitro-2-quinolinyl)- (9CI) (CA INDEX NAME)



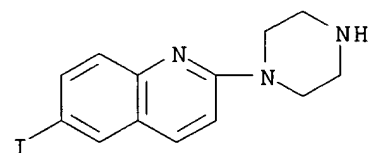
RN 296759-24-5 CAPLUS

CN Quinoline, 6,8-dinitro-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)



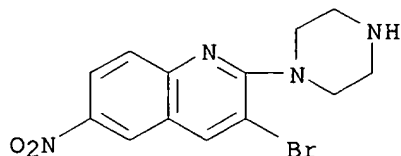
RN 296759-25-6 CAPLUS

CN Quinoline, 6-iodo-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)



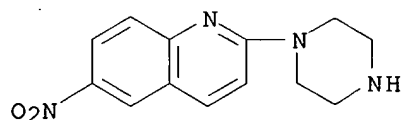
10509483

RN 296759-26-7 CAPLUS
CN Quinoline, 3-bromo-6-nitro-2-(1-piperazinyl)-, monohydrochloride (9CI)
(CA INDEX NAME)



● HCl

IT 77372-73-7
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(syntheses and binding affinities of 6-nitroquipazine analogs for serotonin transporter)
RN 77372-73-7 CAPLUS
CN Quinoline, 6-nitro-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)



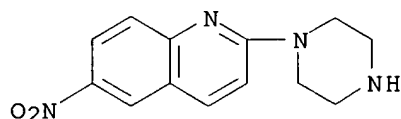
RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 47 OF 101 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2000:68328 CAPLUS
DN 132:117552
TI Composition and method using serotonergic drug for treatment of stress
IN Wurtman, Judith J.; Wurtman, Richard J.
PA Massachusetts Institute of Technology, USA
SO PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	WO 2000003701	A1	20000127	WO 1999-US16153	19990716
	W: CA, JP				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2337507	AA	20000127	CA 1999-2337507	19990716
	EP 1096927	A1	20010509	EP 1999-934107	19990716
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

10509483

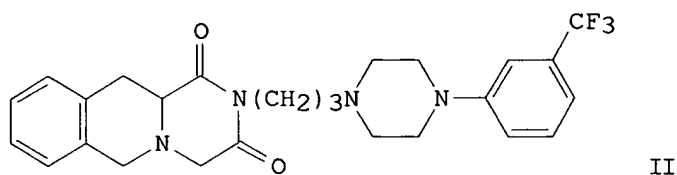
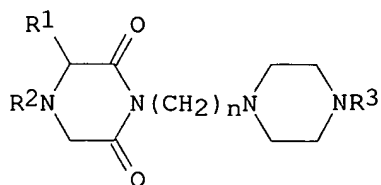
JP 2002520353 T2 20020709 JP 2000-559836 19990716
 PRAI US 1998-93013P P 19980716
 WO 1999-US16153 W 19990716
 AB A method of treating stress in a patient showing stress-related symptoms comprises administering to the patient an effective amount of a serotonergic drug. Specific examples of this class of drugs are described, and include as examples, among others, the use of lithium, chlorimipramine, fluoxetine, fluvoxamine, sertraline, MK-212, Ro 60-0332/ORG 35035, Ro 60-175/ORG 35030, d,l-fenfluramine, dexfenfluramine, or a salt thereof.
 IT 77372-73-7, DU 24565
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (serotonergic drug for treatment of stress)
 RN 77372-73-7 CAPLUS
 CN Quinoline, 6-nitro-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 87 OF 101 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 1989:173256 CAPLUS
 DN 110:173256
 TI (Piperazinylalkyl)piperazinedione derivatives as anxiolytics and antipsychotics, their preparation, and formulations containing them
 IN Lavielle, Gilbert; Poignant, Jean Claude
 PA ADIR, Fr.
 SO Eur. Pat. Appl., 19 pp.
 CODEN: EPXXDW
 DT Patent
 LA French
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 296048	A1	19881221	EP 1988-401458	19880614
	EP 296048	B1	19911121		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	FR 2616433	A1	19881216	FR 1987-8263	19870615
	FR 2616433	B1	19890901		
	US 4877788	A	19891031	US 1988-206512	19880614
	AT 69611	E	19911215	AT 1988-401458	19880614
	US 4943577	A	19900724	US 1989-382252	19890719
PRAI	FR 1987-8263	A	19870615		
	EP 1988-401458	A	19880614		
	US 1988-206512	A3	19880614		
OS	CASREACT 110:173256; MARPAT 110:173256				
GI					



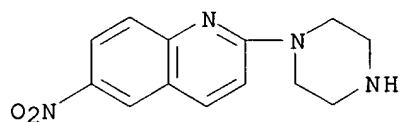
AB The title compds. [I; R1 = H; R2 = (substituted) PhCH2; R1, R2, and the piperazinedione moiety may form a hexahydropyrazinoisoquinolinedione or hexahydropyrazino- β -carbolinedione moiety; R3 = (substituted) quinolyl, indolyl, etc.; n = 2-4], useful as anxiolytics and antipsychotics, were prepared Alkylation of 11,11a-dihydro-2H-pyrazino[1,2-b]isoquinoline-1,3(4H,6H)-dione (preparation given) with BrCH2CH2CH2Cl, followed by reaction with 1-[3-(trifluoromethyl)phenyl]piperazine and acidification, gave pyrazinoisoquinoline II.2HCl. In an antipsychotic test of inhibition of conditioned response in rats, II.2HCl at 20 mg/kg i.p. achieved inhibition of 11%. A tablet formulation contd. II.2HCl 2, starch 120, Mg stearate 15, and talc 20 g.

IT 77372-73-7

RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of anxiolytic and antipsychotic)

RN 77372-73-7 CAPLUS

CN Quinoline, 6-nitro-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)



L13 ANSWER 94 OF 101 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1981:568952 CAPLUS

DN 95:168952

TI Phosphoramides. XV. Phosphorus pentoxide amine mixtures as reagents in the synthesis of 2-(dialkylamino)quinolines

AU Hansen, Bo W.; Pedersen, Erik B.

CS Dep. Chem., Odense Univ., Odense, DK-5230, Den.

SO Liebigs Annalen der Chemie (1981), (8), 1485-91

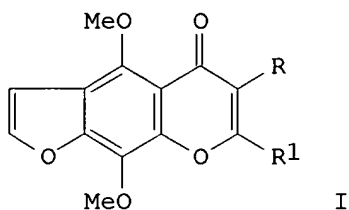
CODEN: LACHDL; ISSN: 0170-2041

DT Journal

LA English

OS CASREACT 95:168952

GI

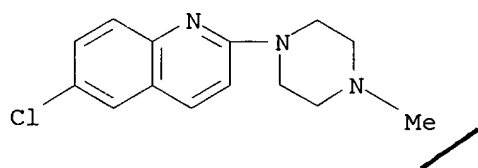


AB Stirring 3,4-R₁R₂C₆H₃NHCOCH₂R (R = H, Me; R₁ = H, Cl; R₂ = H, Me, EtO, Cl, Br) with R₃HN (R₃N = Et₂N, 4-morpholinyl, 1-piperidyl, 4-methyl-1-piperidyl, Bu₂N, Me₂N, 1-pyrrolidinyl) at 250° gave 23-61% quinolines I. A mechanism is proposed.

IT 79489-60-4P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 79489-60-4 CAPLUS

CN Quinoline, 6-chloro-2-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



L13 ANSWER 95 OF 101 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1981:425132 CAPLUS

DN 95:25132

TI Pharmacologically active 2-(1-piperazinyl)-quinoline derivatives

PA Duphar International Research B. V., Neth.

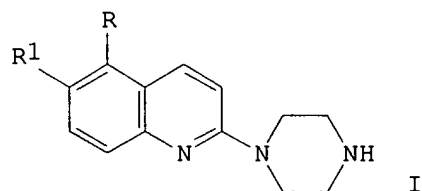
SO Neth. Appl., 17 pp.
 CODEN: NAXXAN

DT Patent

LA Dutch

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	NL 7904723	A	19801222	NL 1979-4723	19790618
PRAI	NL 1979-4723	A	19790618		
GI					



AB Piperazinylquinolines I (R = H, halogen; R1 = halogen, NO2, CF3, cyano) were prepared Thus, 2,6-dichloroquinoline was treated with piperazine to give I (R = H, R1 = Cl) with antidepressant ED50 and with serotonin-potentialiation of 7 mg/kg orally in mice.

IT 78060-47-6P 78060-48-7P 78060-52-3P

78060-53-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and antidepressant activity of)

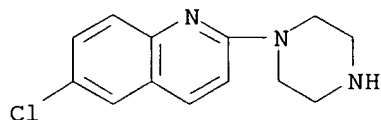
RN 78060-47-6 CAPLUS

CN Quinoline, 6-chloro-2-(1-piperazinyl)-, (2Z)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 78060-46-5

CMF C13 H14 Cl N3

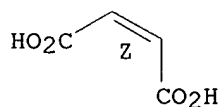


CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.



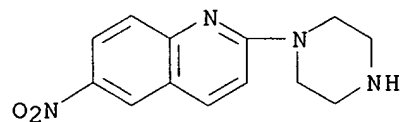
RN 78060-48-7 CAPLUS

CN Quinoline, 6-nitro-2-(1-piperazinyl)-, (2Z)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 77372-73-7

CMF C13 H14 N4 O2



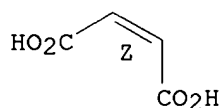
10509483

CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.



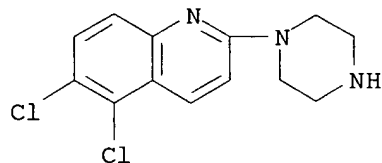
RN 78060-52-3 CAPLUS

CN Quinoline, 5,6-dichloro-2-(1-piperazinyl)-, (2Z)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 78060-51-2

CMF C13 H13 Cl2 N3

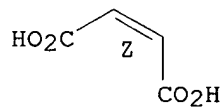


CM 2

CRN 110-16-7

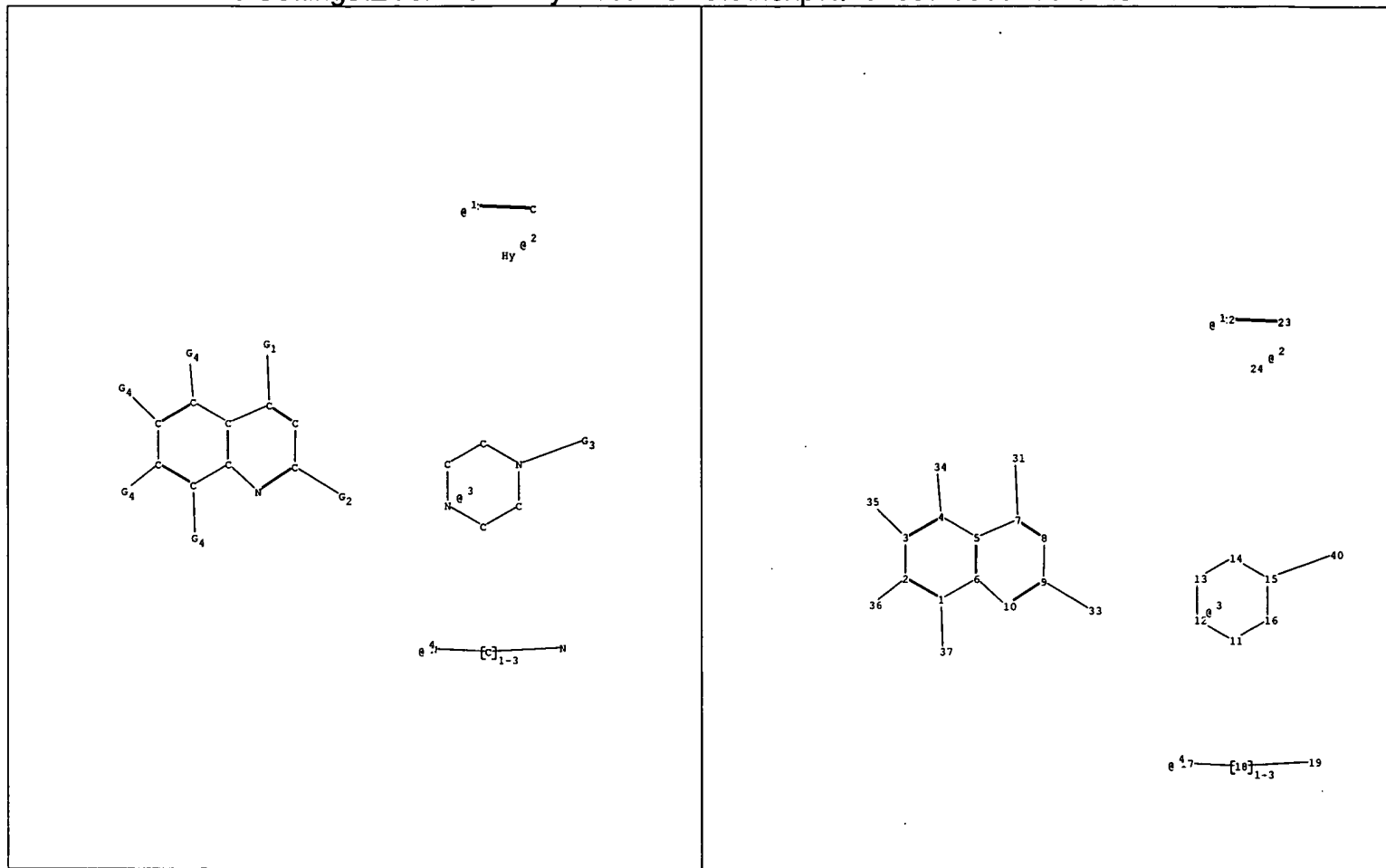
CMF C4 H4 O4

Double bond geometry as shown.



RN 78060-53-4 CAPLUS

CN Quinoline, 6-bromo-2-(1-piperazinyl)-, hydrochloride (9CI) (CA INDEX NAME)



chain nodes :

17 18 19 22 23 24 31 33 34 35 36 37 40

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16

chain bonds :

1-37 2-36 3-35 4-34 7-31 9-33 15-40 17-18 18-19 22-23

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 11-12 11-16 12-13 13-14 14-15 15-16

exact/norm bonds :

1-37 2-36 3-35 4-34 7-31 9-33 11-12 11-16 12-13 13-14 14-15 15-16 15-40 17-18 18-19

exact bonds :

22-23

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10

isolated ring systems :

containing 1 :

G1:CH3,H,X,[*1],[*2]

G2:[*3],[*4]

G3:CH3,Et,n-Pr,i-Pr,H

G4:H,X,NO2

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom
13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS18:CLASS19:CLASS22:CLASS23:CLASS24:Atom
31:CLASS33:CLASS34:CLASS35:CLASS36:CLASS37:CLASS40:CLASS

Generic attributes :

24:

Saturation : Unsaturated

Number of Carbon Atoms : less than 7

Type of Ring System : Monocyclic

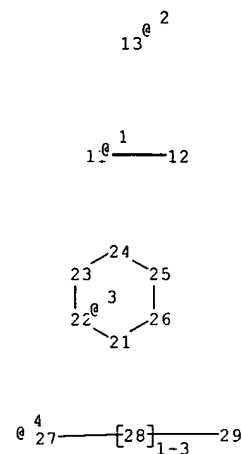
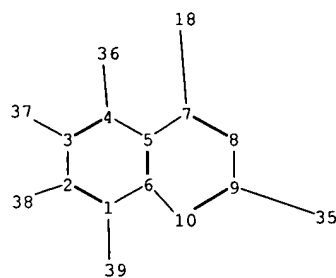
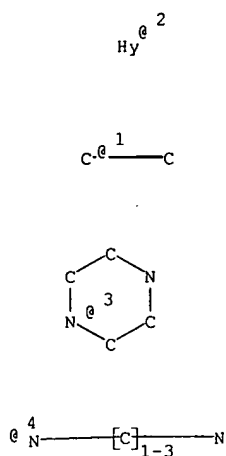
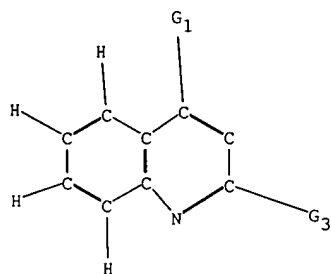
Element Count :

Node 24: Limited

O,O1

S,S0

N,N0



chain nodes :

11 12 13 18 27 28 29 35 36 37 38 39

ring nodes :

1 2 3 4 5 6 7 8 9 10 21 22 23 24 25 26

chain bonds :

1-39 2-38 3-37 4-36 7-18 9-35 11-12 27-28 28-29

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 21-22 21-26 22-23 23-24
24-25 25-26

exact/norm bonds :

7-18 9-35 21-22 21-26 22-23 23-24 24-25 25-26 27-28 28-29

exact bonds :

1-39 2-38 3-37 4-36 11-12

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10

isolated ring systems :

containing 1 : 21 :

G1:CH3,H,X, [*1], [*2]

G2:NO2,X,H

G3:[*3], [*4]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:CLASS
12:CLASS

13:Atom 18:CLASS 21:CLASS 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom
27:CLASS 28:CLASS 29:CLASS 35:CLASS 36:CLASS 37:CLASS 38:CLASS 39:CLASS

Generic attributes :

13:

Saturation : Unsaturated

Number of Carbon Atoms : less than 7

Type of Ring System : Monocyclic

Element Count :

Node 13: Limited

O,O1

S,S0

N,N0